10/790,498C> 05/28/2007

NEWS HOURS STN Operating Hours Plus Help Desk Availability

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=> file reg

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STRUCTURE FILE UPDATES: 27 MAY 2007 HIGHEST RN 935984-33-1 DICTIONARY FILE UPDATES: 27 MAY 2007 HIGHEST RN 935984-33-1

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Uploading C:\Program Files\Stnexp\Queries\10790498.str

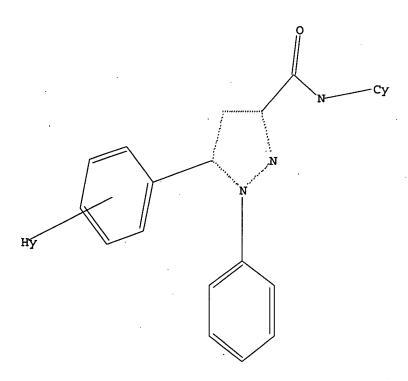
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chain nodes :
18 19 20 21 23
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17
chain bonds :
4-7 8-12 10-18 18-19 18-20 19-23
ring bonds :
1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 5-6 \quad 7-8 \quad 7-11 \quad 8-9 \quad 9-10 \quad 10-11 \quad 12-13 \quad 12-17 \quad 13-14
14-15 15-16 16-17
exact/norm bonds :
4-7 7-8 7-11 8-9 9-10 10-11 18-19 18-20 19-23
exact bonds :
8-12 10-18
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 12-13 12-17 13-14 14-15 15-16 16-17
isolated ring systems :
containing 1 : 7 : 12 :
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Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:CLASS 20:CLASS 21:Atom 22:Atom 23:Atom

L1 STRUCTURE UPLOADED

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Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 18:52:37 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 260 TO ITERATE

100.0% PROCESSED

260 ITERATIONS

2 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

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FULL SEARCH INITIATED 18:52:50 FILE 'REGISTRY'

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4793 TO ITERATE

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4793 ITERATIONS

32 ANSWERS

SEARCH TIME: 00.00.01

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32 SEA SSS FUL L1

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COST IN U.S. DOLLARS

SINCE FILE TOTAL

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FULL ESTIMATED COST

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FILE 'CAPLUS' ENTERED AT 18:52:56 ON 28 MAY 2007

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10/790,498C> 05/28/2007

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L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2007 ACS ON STN ACCESSION NUMBER: 2006:1338335 CAPLUS DOCUMENT NUMBER: 146:81857

TITLE:

Preparation of pyrazole derivatives as cannabinoid receptor modulators for treating metabolic disorders receptor modulators for treating metabolic disorders and obesity
Amengual, Remi: Marsol, Claire: Mayeux, Eric: Sierra, Michael: Wagner, Patrick
Carex SA, Fr.
PCT Int. Appl., 70pp.
CODEN: PIXXD2
Patent

INVENTOR (S):

PATENT ASSIGNEE(S):

DOCUMENT TYPE:

English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. APPLICATION NO. DATE WO 20061333926 AI 20061221 WO 2006-EP\$726 20060614

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CR, CR, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GA, HR, HU, ID, IL, IN, IS, JP, KE, KG, NA, NA, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MR, MX, MZ, NA, NG, NI, NO, NZ, CM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SS, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GW, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, RIGNITY APPLN. INFO:

EP 2005-360032 A 20050926

EP 2005-360047 A 20051124

OTHER SOURCE(S):

MARPAT 146:81857

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Compds. of formula (I), are cannabinoid CB1 receptors, useful, inter alia in the treatment of obesity: wherein AI = H, CO2H, tetrazoly1: A2 = H, CO2H, tetrazoly1: CN, CF3, etc.: p = 0, 1: A3 = (un)substituted Ph, cycloalky1: q = 0, 1: R1 = bond, (CR2)aB1(CH2)b; a, b = 0-3, B1 = CO, O, S, etc.: R2 = bond, (CH2)aB1(CH2)b; a, CH2)aB1(CH2)b) ln-A4-1 (CH2)cB1(CH2)d]m; c, d = 0-3: n, m = 0, 1: A4 = a (un)substituted monocarbocyclic or monoheterocyclic ring; R3 = H, C1-C4 alky1, cycloalky1, etc.: R4, R5 = CN,

halo, substituted alkylamino, etc. Preparation of I is exemplified. For example, II was prepared from III by transformation of brome to sulfonamide,

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2007 ACS ON STN ACCESSION NUMBER: 2006:444444 CAPLUS DOCUMENT NUMBER: 144:468162

Preparation of arylpyrazolecarboxamides as CB1 TITLE:

cannabinoid receptor antagonists.
Makriyannis, Alexandros; Liu, Qian; Thotapally, INVENTOR (S):

Rajesh PATENT ASSIGNEE(S): SOURCE:

U.S. Pat. Appl. Publ., 46 pp., Cont.-in-part of U.S. Ser. No. 790,498.
CODEN: USXXCO

DOCUMENT TYPE:

English

DOCUMENT III.
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:

1	PATENT NO.					KIND DA			ATE APPLIC			LICAT	CATION NO.			DATE		
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											US :	2002-	1108	55		A2 2	0021	021
											US :	2004~	7904	98		A2 2	0040	301
											AU :	2002-	3317	66		A3 2	0020	929

OTHER SOURCE(S):

MARPAT 144:468162

05/28/2007

ANSWER 1 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) hydrolysis of the sulfonemide compd. followed by coupling to 4-aminobutyric acid Me ester and hydrolysis again. The receptor functional activities of I were evaluated in vitro by measuring their ability to inhibit CP55940-induced GTPy5-Zu binding to membranes prepd. from Sf9 cells expressing the human CBl receptor and the G protein alphai3, betal and gamma2 subunits. Most of the compds. of the invention had Ki values of CluM in the above assay.
917080-47-8P, [4-[[1-(2-chlorophenyl)-4-methyl-5-[4-(2-methyl-2H-tetrazol-5-yl)phenyl]-1H-pyrazol-3-yl]carbonyl]amino]phenyl]acetic acid RL: PAC (Pharmacological activity): SPN (Synthetic preparation): THU (Therapeutic use): BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of pyrazole derivs. as cannabinoid

modulators)
917080-47-8 CAPLUS
Benzeneacetic acid, 4-[{[1-(2-chlorophenyl)-4-methyl-5-[4-(2-methyl-2H-tetrazol-5-yl)phenyl]-1H-pyrazol-3-yl]carbonyl)amino}- (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

ANSWER 2 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

Title compds. [I; A = bond, O, (CH2)0-lNR6; R6 = H, alkyl; B = N, O; R5 = null, H, (substituted) alkyl; R1 = (substituted) carbon chain, (CH2)n2; n = 0-7; Z = H, halo, N3, NCS, cyano, NO2, amino, etc.; R2 = carbocyclyl, heterocyclyl, aryl, heteroaryl, naphthyl, tricyclyl, etc.; R3 = H, halo, N3, NCS, Ph, cyano, NO2, amino, aroll, OAc, SO3H, etc.; R4 = (CH2)n2; Z = H halo, N3, NCS, cyano, NO2, amino, OAc, acyloxy, etc.], were prepared Thus, title compound (II) showed CBl receptor affinity with ICSO = 1.2

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Thus, title compound (II) showed CBl receptor affinity with IC50 = 1 nm.

IT 501423-34-3 501423-35-4 501423-36-5 501423-47-8 501423-47-8 501423-49-0 501423-48-9 501423-49-0 501423-56-5 501423-56-5 501423-56-5 501423-56-5 501423-56-5 501423-56-5 501423-56-5 501423-56-5 501423-56-5 501423-56-5 501423-56-5 501423-56-5 501423-56-5 501423-56-5 501423-56-5 501423-56-5 501423-56-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 501423-5 5014
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L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 501423-35-4 CAPLUS
CN 1H-Pyrazole-3-carboxamide, 1-(2,4-dichlorophenyl)-4-(hydroxymethyl)-N-1-piperidinyl-5-[4-(3-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 501423-36-5 CAPLUS
CN 1H-Pyrazole-3-carboxamide, 1-(2,4-dichlorophenyl)-4-(fluoromethyl)-N-1-piperidinyl-5-(4-(3-pyridinyl)phenyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 501423-49-0 CAPLUS
CN 1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-{2,4-dichlorophenyl}-4(methoxymethyl)-5-{4-{4-pyridinyl}phenyl}- (9CI) (CA INDEX NAME)

RN 501423-50-3 CAPLUS
CN 1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-4-(methoxymethyl)-5-[4-(2-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

05/28/2007

4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 501423-47-8 CAPLUS
CN 1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-4(methoxymethyl)-5-(4-(3-thienyl)phenyl)- (9CI) (CA INDEX NAME)

RN 501423-48-9 CAPLUS
CN 1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-4-(methoxymethyl)-5-(4-(3-pyridinyl)phenyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (

(Continued)

RN 765953-27-3 CAPLUS
CN 1H-Pyrazole-3-carboxamide,
1-(2,4-dichlorophenyl)-4-methyl-N-1-piperidinyl5-[4-(1H-tetrazol-5-yl)phenyl)- (9CI) (CA INDEX NAME)

RN 765953-28-4 CAPLUS CN 1H-Pyrezole-3-carboxamide, 1-(2,4-dichlorophenyl)-4-methyl-5-[4-(1-methyl-1H-tetrazol-5-yl)phenyl]-N-1-piperidinyl- (9CI) (CA INDEX NAME) L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 765953-29-5 CAPLUS CN 1H-Pyrazole-3-carboxamide, 1-(2,4-dichlorophenyl)-4-methyl-5-[4-(2-methyl-2H-tetrazol-5-yl)phenyl}-N-1-piperidinyl- (9CI) (CA INDEX NAME)

RN 765953-30-8 CAPLUS
CN 1H-Pyrazole-3-carboxamide, 1-(2,4-dichlorophenyl)-4-(hydroxymethyl)-N-1piperidinyl-5-[4-(1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 765953-33-1 CAPLUS CN 1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-4-methyl-5-[4-(1-methyl-1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)

RN 765953-34-2 CAPLUS
CN 1H-Pyrazole-3-carboxamide,
N-cyclohexyl-1-(2,4-dichlorophenyl)-4-methyl-5[4-(2-methyl-2H-tetrazol-5-yl)phenyl}- (9CI) (CA INDEX NAME)

05/28/2007

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 765953-31-9 CAPLUS
CN 1H-Pyrazole-3-catboxamide, 1-(2,4-dichlorophenyl)-4-(hydroxymethyl)-5-[4-(1-methyl-1H-tetrazol-5-yl)phenyl]-N-1-piperidinyl- (9CI) (CA INDEX NAME)

RN 765953-32-0 CAPLUS
CN 1H-Pyrazole-3-carboxamide, 1-(2,4-dichlorophenyl)-4-(hydroxymethyl)-5-[4-(2-methyl-2H-tetrazol-5-yl)phenyl]-N-1-piperidinyl- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 765953-35-3 CAPLUS
CN 1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-4-(hydroxymethyl)-5-(4-(1H-tetrazol-5-yl)phenyl)- (9CI) (CA INDEX NAME)

RN 765953-36-4 CAPLUS
CN 1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-[2,4-dichlorophenyl]-4(hydroxymethyl)-5-[4-(1-methyl-1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued

RN 765953-37-5 CAPLUS
CN 1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-4(hydroxymethyl)-5-[4-(2-methyl-2H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)

RN 765953-38-6 CAPLUS
CN 1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-N,4-dimethyl-5-[4-(1H-tetrazol-5-yl)phenyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued

RN 765953-41-1 CAPLUS
CN 1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-4-(hydroxymethyl)-N-methyl-5-[4-(1H-tetrazol-5-yl)phenyl)- (9CI) (CA INDEX NAME)

RN 765953-42-2 CAPLUS
CN HH-Pyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-4(hydroxymethyl)-N-methyl-5-[4-(1-methyl-1H-tetrazol-5-yl)phenyl]- (9CI)
(CA INDEX NAME)

05/28/2007

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 765953-39-7 CAPLUS
CN 1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-N,4-dimethyl-5-[4-(1-methyl-1H-tetrazol-5-yl)phenyl)- (9CI) (CA INDEX NAME)

RN 765953-40-0 CAPLUS
CN 1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-{2,4-dichlorophenyl}-N,4dimethyl-5-[4-(2-methyl-2H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 765953-43-3 CAPLUS
CN 1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-4(hydroxymethyl)-N-methyl-5-[4-(2-methyl-2H-tetrazol-5-yl)phenyl]- (9CI)
(CA INDEX NAME)

RN 765953-49-9 CAPLUS
CN 1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-4(hydroxymethyl)-N-methyl-5-[4-(3-pyridinyl)phenyl]- (9CI) (CA INDEX
NAME)

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN

765953-50-2 CAPLUS 1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-4-(hydroxymathyl)-N-mathyl-5-(4-(4-pyridinyl)phenyl)- (9CI) (CA INDEX

765953-51-3 CAPLUS 1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-N,4-dimethyl-5-[4-(4-pyridinyl)phenyl)- (9CI) (CA INDEX NAME)

05/28/2007 -

ANSWER 2 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN

765953-63-7 CAPLUS 1H-Pyrazole-3-carboxamide, 1-[2-chloro-4-(3-pyridinyl)phenyl]-4-(hydroxymethyl)-N-1-piperidinyl-5-[4-(3-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

765953-64-8 CAPLUS 1H-Pyrazole-3-carboxamide, 1-[2-chloro-4-(3-pyridinyl)phenyl]-4-(hydroxymethyl)-N-1-piperidinyl-5-[4-(3-pyridinyl)phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

ANSWER 2 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN

RN 765953-65-9 CAPLUS
CN 1H-Pyrazole-3-carboxamide, 1-(2,4-dichlorophenyl)-4-(hydroxymethyl)-N-1-piperidinyl-5-(4-(3-pyridinyl)phenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

A preparation of novel pyrazole derivatives with high affinities and selectivities for the CBI cannabinoid receptor Makriyannis, Alexandros; Liu, Qian; Thotapally, INVENTOR (S): University of Connecticut, USA
U.S. Pat. Appl. Publ., 41 pp., Cont.-in-part of Appl.
No. PCT/USO2/27644.
CODEN: USXXCO
Patent Rajesh PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT INFORMATION:						
PATENT NO.	KIND DATE	APPLICATION NO.	DATE			
US 2004192667 WO 2003020217	A1 20040930 A2 20030313	US 2004-790498 WO 2002-US27644	20040301 20020829			
W: AE, AL, AM, CZ, DE, DK, IN, IS, JP,	AT, AU, AZ, BA, B DM, EE, ES, FI, G KE, KG, KP, KR, K	BB, BG, BR, BY, CA, CH, GB, GD, GE, GH, GM, HR, KZ, LC, LK, LR, LS, LT, NZ, PL, PT, RO, RU, SD,	CN, CR, CU, HU, ID, IL, LU, LV, MA,			
· SK, SL, TJ, RW: GH, GM, KE, KG, KZ, MD,	TM, TR, TT, TZ, U LS, MW, MZ, SD, S RU, TJ, TM, AT, B	JA, UG, US, UZ, VN, YU, SL, SZ, TZ, UG, ZM, ZW, SE, BG, CH, CY, CZ, DE,	ZA, ZW AM, AZ, BY, DK, EE, ES,			
CG, CI, CM,	GA, GN, GO, GW, M	AC, NL, PT, SE, SK, TR, AL, MR, NE, SN, TD, TG CA 2005-2498966 EP 2005-251224				
R: AT, BE, CH, IE, SI, LT,	DE, DK, ES, FR, G	GB, GR, IT, LI, LU, NL, CY, AL, TR, BG, CZ, EE,	SE, MC, PT, HU, PL, SK,			
DR, nk, 15, JP 2005255685 CN 1827603 US 2006100208 US 2006030563 PRIORITY APPLN. INFO::	A 20050922 A 20060906 A1 20060511 A1 20060209	JP 2005-96009 CN 2005-10052970 US 2005-166835 V US 2005-244770 V	20050301 20050301 20050624 20051006			
PRIORITY APPLIN. INFO.:		WO 2002-US27644 US 1999-159993P	A2 20020829			
		WO 2000-US41239	w 20001018			
		US 2004-790498				
OTHER SOURCE(S):	MARPAT 141:314321	Ī				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

L4 ANSWER 3 OF 4 CAPLUS COFINGED.

The invention relates to a preparation of novel pyrazola and formula I [wherein: A is a direct bond, O, or -(CH2)0-1NH-, etc.; B is N or O; R1 and the company of the

-(CH2)0-7-Z; Z and R2 are independently selected from carbocyclic, heterocyclic, (hetero)aromatic, bicyclic, or tricyclic rings, etc.; R3

heterocyclic, inecess, the control of the control o

(CB1 receptor selectivity was 491).

(CB1 receptor selectivity was 491).

501423-34-3P 501423-35-4P 501423-36-5P
501423-47-8P 501423-48-9P 501423-49-0P
501423-50-3P 765953-27-3P 765953-28-4P
765953-22-0P 765953-33-1P 765953-31-9P
765953-35-3P 765953-33-1P 765953-34-7P
765953-38-6P 765953-39-7P 765953-40-0P
765953-41-1P 765953-40-2P 765953-43-3P
765953-43-3P 765953-64-8P 765953-51-3P
765953-70-6P
RL: PAC (Pharmacological activity): SPM

765953-70-6P RE: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(preparation of novel pyrazole derivs. with high affinities and/or selectivities for the CBl cannabinoid receptor)
RN 501423-34-3 CAPJUS
CN 1H-Pyrazole-3-carboxamide,
1-(2,4-dichlorophenyl)-4-methyl-N-1-piperidinyl5-[4-(3-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

ANSWER 3 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN

501423-47-8 CAPLUS
1N-Pyrazole-3-carboxamide, N-cyclohexyl-1-{2,4-dichlorophenyl}-4-(methoxymethyl)-5-{4-{3-thienyl}phenyl}- (9CI) (CA INDEX NAME)

1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-4-(methoxymethyl)-5-[4-(3-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

05/28/2007

ANSWER 3 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN

501423-35-4 CAPLUS
1H-Pyrazole-3-carboxamide, 1-(2,4-dichlorophenyl)-4-(hydroxymethyl)-N-1-piperidinyl-5-[4-(3-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

501423-36-5 CAPLUS
1H-Pyrazole-3-carboxamide, 1-(2,4-dichlorophenyl)-4-(fluoromethyl)-N-1-piperidinyl-5-(4-(3-pyridinyl)phenyl)- (9CI) (CA INDEX NAME)

ANSWER 3 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

501423-49-0 CAPLUS
1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-4(methoxymethyl)-5-[4-(4-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

501423-50-3 CAPLUS
1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-{2,4-dichlorophenyl}-4(methoxymethyl)-5-[4-(2-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 765953-27-3 CAPLUS
CN 1H-Pyrazole-3-carboxamide,
1-(2,4-dichlorophenyl)-4-methyl-N-1-piperidinyl5-[4-(1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)

RN 765953-28-4 CAPLUS CN 1H-Pyrazole-3-carboxamide, 1-(2,4-dichlorophenyl)-4-methyl-5-[4-(1-methyl-1H-tetrazol-5-yl)phenyl]-N-1-piperidinyl- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 765953-31-9 CAPLUS
CN 1H-Pyrazole-3-carboxamide, 1-(2,4-dichlorophenyl)-4-(hydroxymethyl)-5-[4-(1-methyl-1H-tetrazol-5-yl)phenyl]-N-1-piperidinyl- (9CI) (CA INDEX NAME)

RN 765953-32-0 CAPLUS
CN 1H-Pyrazole-3-carboxamide, 1-(2,4-dichlorophenyl)-4-(hydroxymethyl)-5-[4-(2-methyl-2H-tetrazol-5-yl)phenyl]-N-1-piperidinyl- (9CI) (CA INDEX NAME)

05/28/2007

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 765953-29-5 CAPLUS
CN 1H-Pyrazole-3-carboxamide,
1-(2,4-dichlorophenyl)-4-methyl-5-[4-(2-methyl-2H-tetrazol-5-yl)phenyl)-N-1-piperidinyl- (9CI) (CA INDEX NAME)

RN 765953-30-8 CAPLUS
CN 1H-Pyrazole-3-carboxamide, 1-(2,4-dichlorophenyl)-4-(hydroxymethyl)-N-1-piperidinyl-5-[4-(1H-tetrazol-5-yl)phenyl]- {9CI} (CA INDEX NAME)

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 765953-33-1 CAPLUS
CN 1H-Pyrazole-3-carboxamide,
N-cyclohexyl-1-(2, 4-dichlorophenyl)-4-methyl-5[4-(1-methyl-1H-tetrazol-5-yl)phenyl)- (9CI) (CA INDEX NAME)

RN 765953-34-2 CAPLUS
CN 1H-Pyrazole-3-carboxamide,
N-cyclohexyl-1-(2, 4-dichlorophenyl)-4-methyl-5{4-(2-methyl-2H-tetrazol-5-yl)phenyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 765953-35-3 CAPLUS
CN 1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-4(hydroxymethyl)-5-(4-(1M-tetrazol-5-yl)phenyl)- (SCI) (CA INDEX NAME)

RN 765953-36-4 CAPLUS

(N-cyclohexyl-1-(2,4-dichlorophenyl)-4-(hydroxymethyl)-5-[4-(1-methyl-1H-tetrazol-5-yl)phenyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 765953-39-7 CAPLUS
CN 1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-N,4-dimethyl-5-[4-(1-methyl-1H-tetrazol-5-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 765953-40-0 CAPLUS
CN 1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-N,4-dimethyl-5-[4-(2-methyl-2H-tetrazol-5-yl)phenyl}- (9CI) (CA INDEX NAME)

05/28/2007

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 765953-37-5 CAPLUS

1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-4(hydroxymethyl)-5-[4-(2-methyl-2H-tetrazol-5-yl)phenyl]- (SCI) (CA INDEX NAME)

RN 765953-38-6 CAPLUS
CN 1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-N,4-dimethyl-5-[4-(1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 765953-41-1 CAPLUS
CN 1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-4(hydroxymethyl)-N-methyl-5-[4-(1H-tetrazol-5-yl)phenyl]- (SCI) (CA INDEX NAME)

RN 765953-42-2 CAPLUS
CN 1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-4-(hydroxymethyl)-N-methyl-5-[4-(1-methyl-1H-tetrazol-5-yl)phenyl}- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 765953-43-3 CAPLUS

N 1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-4-(hydroxymethyl)-N-methyl-5-[4-(2-methyl-2H-tetrazol-5-yl)phenyl]- (9CI)
(CA INDEX NAME)

RN 765953-49-9 CAPLUS
CN 1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-{2,4-dichlorophenyl}-4(hydroxymethyl)-N-methyl-5-{4-(3-pyridinyl)phenyl}- (9CI) (CA INDEX
NAME)

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued

RN 765953-63-7 CAPLUS
CN 1H-Pyrazole-3-carboxamide, 1-[2-chloro-4-(3-pyridinyl)phenyl]-4(hydroxymethyl)-N-1-piperidinyl-5-[4-(3-pyridinyl)phenyl]- (9CI) (CA
INDEX NAME)

RN 765953-64-8 CAPLUS
CN 1H-Pyrazole-3-carboxamide, 1-[2-chloro-4-(3-pyridinyl)phenyl]-4-(hydroxymethyl)-N-1-piperidinyl-5-[4-(3-pyridinyl)phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

05/28/2007

4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 765953-50-2 CAPLUS
CN 1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-4(hydroxymethyl)-N-methyl-5-(4-(4-pyridinyl)phenyl)- (9CI) (CA INDEX
NAME)

RN 765953-51-3 CAPLUS
CN 1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-N,4-dimethyl-5-[4-(4-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

●2 HC1

RN 765953-65-9 CAPLUS
CN 1H-Pyrazole-3-carboxamide, 1-(2,4-dichlorophenyl)-4-(hydroxymethyl)-N-1piperidinyl-5-[4-(3-pyridinyl)phenyl]-, monohydrochloride (9CI) (CA
INDEX
NAME)

RN 765953-70-6 CAPLUS
CN 1H-Pyrazole-3-carboxamide,
N-cyclohexyl-1-(2, 4-dichlorophenyl)-4-methyl-5[4-(1H-tetrazol-5-yl)phenyl)- (9CI) (CA INDEX NAME)

(Continued) L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN

05/28/2007

L4 ANSWER 4 OF 4
ACCESSION NUMBER:
DOCUMENT NUMBER:
138:238177
Preparation of 1H-pyraxole-3-carboxamides as cannabinoid receptor stimulators
MAKYlyannis, Alexandros; Liu, Qian
University of Connecticut, USA
SOURCE:
DOCUMENT TYPE:
Patent

COPPN: PIXXD2
Patent DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: Patent English 4

PATENT NO. KIND DATE APPLICATION NO. DATE WO 2003020217 WO 2003020217 W: RW: CA 2457922 AU 2002331766 EP 1421077 6 EP 2002-768751 20020829 GB, GR, IT, LI, LU, NI, SE, MC, PT, CY, AL, TR, BG, CZ, EE, SK JP 2003-524531 20020829 US 2004-790498 20040301 US 2005-166835 20050624 US 2005-244770 20051006 US 2001-316515P P 20010831 JP 2005507875 US 2004192667 US 200610208 US 2006100208 US 2006030563 PRIORITY APPLN. INFO.: US 1999-159993P WO 2000-US41239 W 20001018 WO 2002-US27644 20020829 US 2002-110865 🗸 US 2004-790498 / A2 20040301

OTHER SOURCE(S): MARPAT 138:238177

ANSWER 4 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN

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AB Title cannabimimetic pyrazole analogs I (wherein R1 = (CH2)n2; or R1 = carbocyclic, heterocyclic, or (hetero)aromatic ring fused to a heterocyclic

or heteroarom. ring; n = 0-7; Z and R3 = H, halo, N3, NCS, CN, NO2, NX1X2.

;,
OX3, OAc, acyloxy, aroyloxy, O(CH2)nOH, O(CH2)nNX1X2, acylamino,
aroylamino, CHO, CF3, CO2X3, SO3H, SO2NX1X2, CONX1X2, alkoxy,
alkylmercapto, or (di)alkylamino; or Z = (un)aubaticuted carbocyclyl,
heterocyclyl, (hetero

and X2 = independently H or alkyl; or NX1X2 = heterocyclyl or imide ring; X3

H, (hydroxy)alkyl, or alkyl-NX1X2; n = 0-6; R2 = (un)substituted carbocyclyl, heterocyclyl, or (hetero)aryl; or R2 = carbocyclic, heterocyclic, or (hetero)aromatic ring fused to a heterocyclic or carcom

ring; or R3 = Ph, alkyl, OH, alkylsulfinyl, alkylsulfonyl, heterobicyclyl,

(hetero)tricyclyl, CH2Z, etc.; R4 = (CH2)nZ, C6H4-(CH2)nZ, etc.; R5 = H

(un)substituted alkyl; with provisos) were prepared For example, cyclocondensation of the lithium salt of Et 2,4-dioxo-3-methyl-4-{4-bromophenyl}butanoate with 2,4-dichlorophenylhydrazine=HCl gave

1-(2,4-dichlorophenyl)-4-methyl-5-(4-bromophenyl)-1H-pyrazole-3-carboxylic acid Et ester. Bromination with N-bromosuccinimide, conversion to the alc. using AgNO3 in aqueous acetone, amidation with 1-aminopiperidine in

presence of AlCl3, and Suzuki coupling with di-Et (3-pyridyl)borane afforded II. I exhibited CB1 and CB2 cannabinoid receptor binding affinities with Ki values in the range of 1.51-85.1 and 5.81-2312, resp Some invention compds. showed CB1 selectivity ranging from 2-452, while

ANSWER 4 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) others showed CB2 selectivity ranging from 1-4. Thus, I are useful for the treatment of central nervous system disorders (no data). 501423-35-4P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic uses) BIOL (Biological study); PRZP (Preparation); RACT (Reactant or reagent); USES (Uses) (cannabinoid receptor stimulator; preparation of pyrazolecarboxamides

cannabinoid receptor stimulators for treatment of CNS disorders)
501423-35-4 CAPLUS
HR-Pyrazole-3-carboxamide, 1-{2,4-dichlorophenyl}-4-{hydroxymethyl}-N-1piperidinyl-5-[4-(3-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

501423-34-3P 501423-36-5P 501423-47-8P 501423-48-9P 501423-49-P 501423-50-3P RE: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(cannabinoid receptor stimulator; preparation of pyrazolecarboxamides

cannabinoid receptor stimulators for treatment of CNS disorders) 501423-34-3 CAPLUS 1H-Pyrazole-3-carboxamide, , 4-dichlorophenyl)-4-methyl-N-1-piperidinyl-5-[4-(3-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 501423-36-5 CAPLUS
CN 1H-Pyrazole-3-carboxamide, 1-[2,4-dichlorophenyi)-4-(fluoromethyl)-N-1-piperidinyl-5-[4-(3-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 501423-47-8 CAPLUS
CN 1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-4(methoxymethyl)-5-[4-(3-thienyl)phenyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 501423-50-3 CAPLUS
CN 1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-4[methoxymethyl]-5-[4-(2-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

05/28/2007

4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 501423-48-9 CAPLUS
CN 1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-{2,4-dichlorophenyl}-4-(methoxymethyl)-5-[4-(3-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 501423-49-0 CAPLUS
CN 1H-Pyrazole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-4(methoxymethyl)-5-[4-(4-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

10/790,498C> 05/28/2007

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LOGOFF? (Y)/N/HOLD:y

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 22.02 194.33

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION

CA SUBSCRIBER PRICE -3.12 -3.12

STN INTERNATIONAL LOGOFF AT 18:53:53 ON 28 MAY 2007

SAEED